EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1433	514/649	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 16:59
L2	3277	424/486	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 16:59
L3	14	L1 and L2	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 16:59
L4	27	Fesoterodine	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 17:01
L5	2283	514/249	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 17:01
L6	2	L4 and L5	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 17:01
S1	5547820	(R)-2-[3-(1, 1-diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenyl isobutyrate	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 11:51
S2	27	Fesoterodine	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 11:51
S3	27	S1 and S2	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 16:59

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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  AUG 09   INSPEC enhanced with 1898-1968 archive
 NEWS  4  AUG 28   ADISCTI Reloaded and Enhanced
 NEWS  5  AUG 30   CA(SM)/CAplus(SM) Austrian patent law changes
 NEWS  6  SEP 11   CA/CAplus enhanced with more pre-1907 records
 NEWS  7  SEP 21   CA/CAplus fields enhanced with simultaneous left and right
                   truncation
 NEWS  8  SEP 25   CA(SM)/CAplus(SM) display of CA Lexicon enhanced
 NEWS  9  SEP 25   CAS REGISTRY(SM) no longer includes Concord 3D coordinates
 NEWS 10  SEP 25   CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
 NEWS 11  SEP 28   CEABA-VTB classification code fields reloaded with new
                   classification scheme
 NEWS 12  OCT 19   LOGOFF HOLD duration extended to 120 minutes
 NEWS 13  OCT 19   E-mail format enhanced
 NEWS 14  OCT 23   Option to turn off MARPAT highlighting enhancements available
 NEWS 15  OCT 23   CAS Registry Number crossover limit increased to 300,000 in
                   multiple databases
 NEWS 16  OCT 23   The Derwent World Patents Index suite of databases on STN
                   has been enhanced and reloaded
 NEWS 17  OCT 30   CHEMLIST enhanced with new search and display field

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 12:22:33 ON 02 NOV 2006

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21
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FILE COVERS 1907 - 2 Nov 2006 VOL 145 ISS 19
FILE LAST UPDATED: 1 Nov 2006 (20061101/ED)

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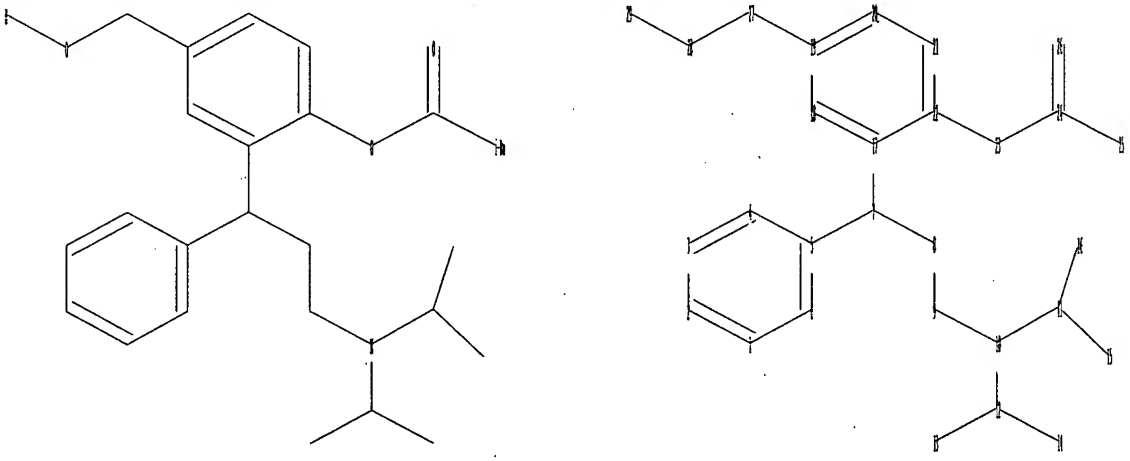
=>
Uploading C:\Program Files\Stnexp\Queries\fesoterodine.str



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7 8 9 10 11 12 13 14 15 16 23 24 25 26 27 28 29
ring nodes :
1 2 3 4 5 6 17 18 19 20 21 22
chain bonds :
5-7 7-8 7-17 8-9 9-10 10-11 10-12 11-15 11-16 12-13 12-14 19-27 22-23
23-24 24-25 24-26 27-28 28-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22
exact/norm bonds :
9-10 10-11 10-12 22-23 23-24 24-26 27-28
exact bonds :
5-7 7-8 7-17 8-9 11-15 11-16 12-13 12-14 19-27 24-25 28-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS
27:CLASS 28:CLASS 29:CLASS

L1 STRUCTURE UPLOADED

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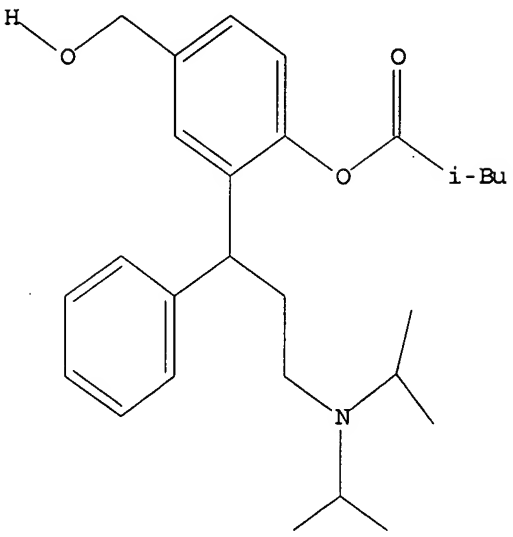
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 12:23:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

L3 0 L2

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.46 1.57

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FILE 'CAPLUS' ENTERED AT 12:23:40 ON 02 NOV 2006
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FILE COVERS 1907 - 2 Nov 2006  VOL 145 ISS 19
FILE LAST UPDATED: 1 Nov 2006  (20061101/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
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=> s L2
L4            0 L2

=> s fesoterodine
L5            9 FESOTERODINE

=> d L5 1-9 fhit ibib abs
'FHIT' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels
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SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
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HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
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ENTER DISPLAY FORMAT (BIB):bib abs

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:1133705 CAPLUS
TI Treatment of the overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites?
AU Michel, Martin C.; Hegde, Sharath S.
CS Department of Pharmacology & Pharmacotherapy, Academic Medical Center, University of Amsterdam, Meibergdreef 15, Amsterdam, 1105 AZ, Neth.
SO Naunyn-Schmiedeberg's Archives of Pharmacology (2006), 374(2), 79-85
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer
DT Journal
LA English
AB Antagonists of muscarinic acetylcholine receptors, such as darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. We briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. We conclude that more comprehensive studies of drug metabolites are required for an improved understanding of their clin. effects.

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:76147 CAPLUS
DN 144:156740
TI Combinations of statins with bronchodilators for treatment of respiratory disorders
IN Lindmark, Bertil; Thoren, Anders Ingemar
PA AstraZeneca AB, Swed.; AstraZeneca UK Limited
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006008437	A1	20060126	WO 2005-GB2413	20050620

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI GB 2004-15789 A 20040715

AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5 μg, budesonide 160 μg, rosuvastatin 1 mg, and HFA 227 50 μL. Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5 μg and budesonide 160 μg, and a tablet formulation containing rosuvastatin 10 mg.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:878361 CAPLUS
DN 141:370546
TI Highly pure bases of 3,3-diphenyl propylamine monoesters for use in transdermal delivery systems
IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PA Schwarz Pharma Ag, Germany
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004089872	A1	20041021	WO 2004-EP3567	20040403

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	DE 10315917	A1	20041118	DE 2003-10315917	20030408
	AU 2004228163	A1	20041021	AU 2004-228163	20040403
	CA 2505848	AA	20041021	CA 2004-2505848	20040403
	BR 2004006221	A	20050809	BR 2004-6221	20040403
	EP 1613584	A1	20060111	EP 2004-725610	20040403
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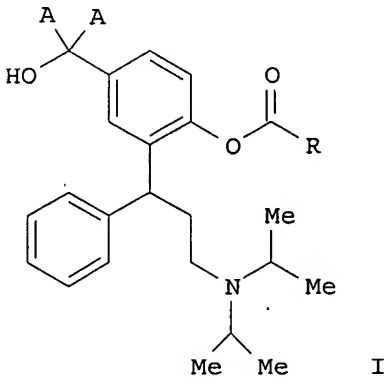
	US 2006014832	A1	20060119	US 2005-532836	20050426
	NO 2005005078	A	20051031	NO 2005-5078	20051031
PRAI	DE 2003-10315917	A	20030408		
	WO 2004-EP3567	W	20040403		

OS MARPAT 141:370546

GI



I

AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a * (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:875349 CAPLUS
DN 142:303234
TI Mucosal adjuvants and delivery systems for oral and nasal vaccination
AU Baudner, Barbara C.; Verhoel, J. Coos; Junginger, Hans E.; del Giudice, Giuseppe
CS IRIS Research Center, Siena, 53100, Italy
SO Drugs of the Future (2004), 29(7), 721-732
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English

AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.
RE.CNT 169 THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:875348 CAPLUS
DN 142:147630
TI Fesoterodine, an advanced antimuscarinic for the treatment of overactive bladder: a safety update
AU Cole, Patrick
CS Medical Information Dept., Prous Science, Barcelona, 08080, Spain
SO Drugs of the Future (2004), 29(7), 715-720
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English
AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:872676 CAPLUS
DN 141:337790

TI Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PA Schwarz Pharma Ag, Germany
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
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	DE 10315878	A1	20041104	DE 2003-10315878	20030408
	AU 2004228927	A1	20041021	AU 2004-228927	20040403
	CA 2505780	AA	20041021	CA 2004-2505780	20040403
	EP 1530461	A1	20050518	EP 2004-725614	20040403
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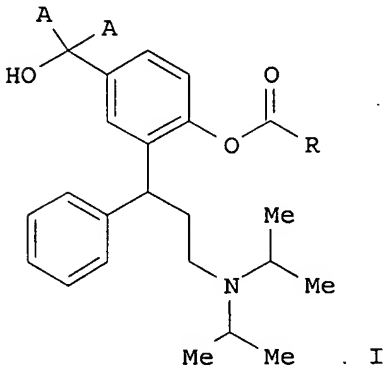
	CN 1767820	A	20060503	CN 2004-80009176	20040403
	JP 2006522759	T2	20061005	JP 2006-504992	20040403
	ZA 2005002681	A	20051013	ZA 2005-2681	20050401
	US 2006029673	A1	20060209	US 2005-533683	20050426
	NO 2005004644	A	20051010	NO 2005-4644	20051010
PRAI	DE 2003-10315878	A	20030408		
	WO 2004-EP3574	W	20040403		

OS MARPAT 141:337790
GI



I

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of

formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:761399 CAPLUS
DN 141:254396
TI Fesoterodine a new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome: results of a phase 2 controlled study
CS Chapple Cl, Royal Hallamshire Hospital, UK
SO Neurourology and Urodynamics (2004), 23(5/6), 598-599
CODEN: NEUREM; ISSN: 0733-2467
PB Wiley-Liss, Inc.
DT Journal
LA English
AB Fesoterodine as new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome is studied here.

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:993805 CAPLUS
DN 140:331551
TI Fesoterodine: Treatment of urinary incontinence muscarinic M3 antagonist
AU Sorbera, L. A.; Castaner, J.; Lesson, P. A.
CS Prous Science, Barcelona, 08080, Spain
SO Drugs of the Future (2003), 28(7), 647-651
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English
AB A review. Urinary incontinence and overactive bladder are extremely common disorders affecting up to 12 and 20 million adults in the U.S., resp. Current pharmacotherapy includes peripherally acting compds. which modulate bladder smooth muscle contraction or centrally acting agents which modulate the neurol. control of urination. Anticholinergic agents inhibit bladder smooth muscle contraction through interference with acetylcholine action on muscarinic receptors on detrusor smooth muscle. However, the first anticholinergic agents were associated with a high rate of adverse events due to nonselectivity and targeting of several muscarinic subtypes and thus other organs. The search for novel, more bladder-selective antimuscarinic agents with better tolerability was initiated. Fesoterodine is a novel selective muscarinic M3 receptor antagonist that has shown potent antimuscarinic activity in vitro and in vivo and has been selected for further development as a treatment for urinary incontinence and overactive bladder.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:950829 CAPLUS
DN 140:13084
TI Combination of selected opioids with other active substances for use in the therapy of urinary incontinence
IN Christoph, Thomas
PA Grunenthal G.m.b.H., Germany
SO PCT Int. Appl., 126 pp.

CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10224107	A1	20031211	DE 2002-10224107	20020529
	AU 2003240717	A1	20031212	AU 2003-240717	20030527
	EP 1507520	A1	20050223	EP 2003-730120	20030527
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005137194	A1	20050623	US 2004-998164	20041129
	US 2006168942	A1	20060803	US 2005-545901	20050817
PRAI	DE 2002-10224107	A	20020529		
	WO 2003-EP5529	W	20030527		

OS MARPAT 140:13084
AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	27.99	29.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

STN INTERNATIONAL LOGOFF AT 12:25:28 ON 02 NOV 2006

Connection closed by remote host

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```
Welcome to STN International!  Enter x:x

LOGINID:ssptalxn1621

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


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 NEWS  5  AUG 30  CA(SM)/CAplus(SM) Austrian patent law changes
 NEWS  6  SEP 11  CA/CAplus enhanced with more pre-1907 records
 NEWS  7  SEP 21  CA/CAplus fields enhanced with simultaneous left and right
                  truncation
 NEWS  8  SEP 25  CA(SM)/CAplus(SM) display of CA Lexicon enhanced
 NEWS  9  SEP 25  CAS REGISTRY(SM) no longer includes Concord 3D coordinates
 NEWS 10  SEP 25  CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
 NEWS 11  SEP 28  CEABA-VTB classification code fields reloaded with new
                  classification scheme
 NEWS 12  OCT 19  LOGOFF HOLD duration extended to 120 minutes
 NEWS 13  OCT 19  E-mail format enhanced
 NEWS 14  OCT 23  Option to turn off MARPAT highlighting enhancements available
 NEWS 15  OCT 23  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 16  OCT 23  The Derwent World Patents Index suite of databases on STN
                  has been enhanced and reloaded
 NEWS 17  OCT 30  CHEMLIST enhanced with new search and display field

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
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FILE 'HOME' ENTERED AT 12:32:57 ON 02 NOV 2006

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 12:33:15 ON 02 NOV 2006
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DICTIONARY FILE UPDATES: 1 NOV 2006 HIGHEST RN 912260-33-4

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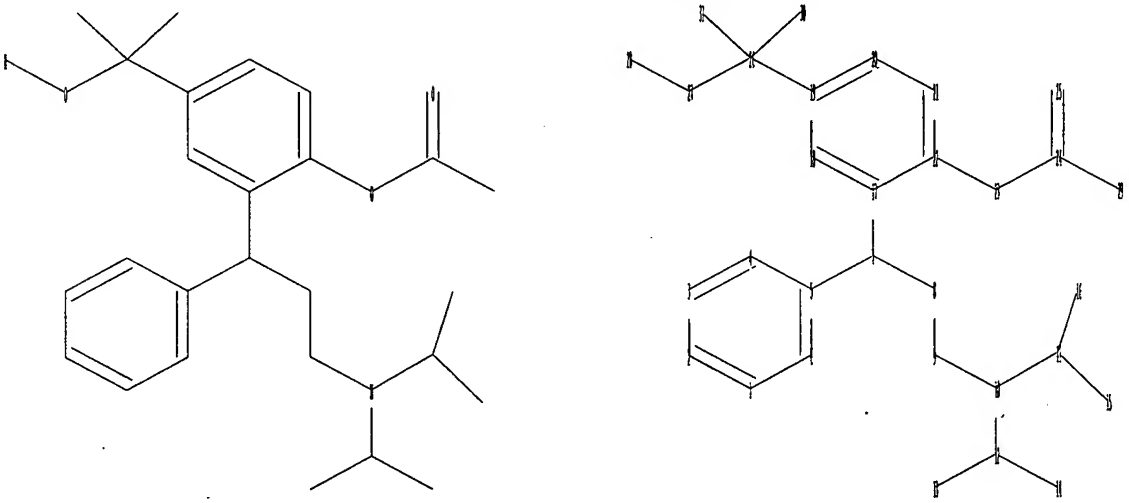
http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\fesoterodine generic.str

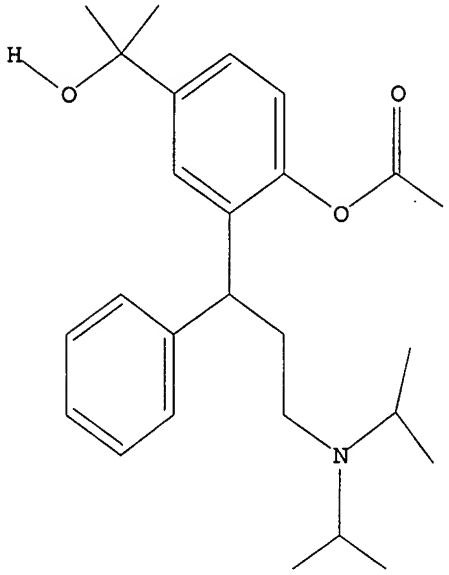


chain nodes :
7 8 9 10 11 12 13 14 15 16 23 24 25 26 27 28 29 30 31
ring nodes :
1 2 3 4 5 6 17 18 19 20 21 22
chain bonds :
5-7 7-8 7-17 8-9 9-10 10-11 10-12 11-15 11-16 12-13 12-14 19-26 22-23 23-24 24-25 24-29 26-27 26-30 26-31 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22
exact/norm bonds :
9-10 10-11 10-12 22-23 23-24 24-25 26-27
exact bonds :
5-7 7-8 7-17 8-9 11-15 11-16 12-13 12-14 19-26 24-29 26-30 26-31 27-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS

L1 STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1
SAMPLE SEARCH INITIATED 12:33:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.44 0.65

FILE 'CAPLUS' ENTERED AT 12:33:44 ON 02 NOV 2006

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FILE LAST UPDATED: 1 Nov 2006 (20061101/ED)

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=> s L2
L3 0 L2

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.46	1.11

STN INTERNATIONAL LOGOFF AT 12:34:30 ON 02 NOV 2006

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptalxn1621

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 13	OCT 19	E-mail format enhanced

```
 NEWS 14   OCT 23  Option to turn off MARPAT highlighting enhancements available
 NEWS 15   OCT 23  CAS Registry Number crossover limit increased to 300,000 in
                   multiple databases
 NEWS 16   OCT 23  The Derwent World Patents Index suite of databases on STN
                   has been enhanced and reloaded
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               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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FILE 'HOME' ENTERED AT 14:38:17 ON 02 NOV 2006

=> file reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:38:27 ON 02 NOV 2006
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predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

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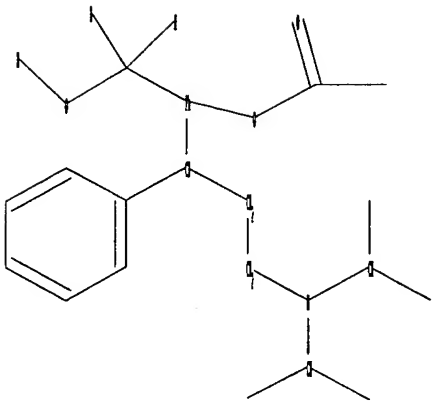
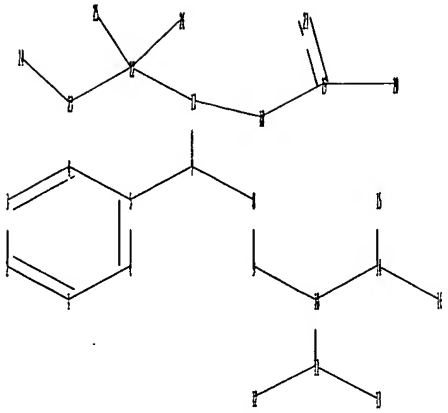
=>
Uploading C:\Program Files\Stnexp\Queries\10532836.str
```



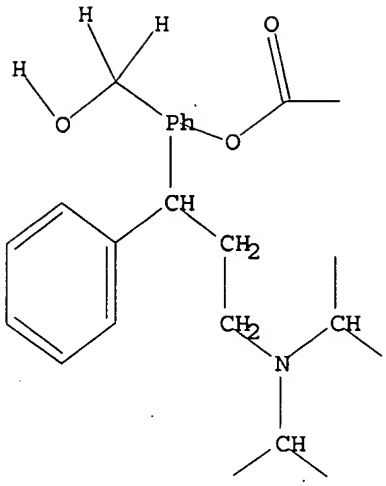


chain nodes :
7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-17 8-9 9-10 10-11 10-14 11-12 11-13 14-15 14-16 17-18 17-22
18-19 19-20 19-21 22-23 22-25 22-26 23-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
10-11 10-14 18-19 19-21 22-23
exact bonds :
5-7 7-8 7-17 8-9 9-10 11-12 11-13 14-15 14-16 17-18 17-22 19-20 22-25
22-26 23-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

L1 STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s L1 full
FULL SEARCH INITIATED 14:38:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -         0 TO ITERATE

100.0% PROCESSED         0 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

L2             0 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     166.94     167.15

FILE 'CAPLUS' ENTERED AT 14:39:05 ON 02 NOV 2006
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FILE COVERS 1907 - 2 Nov 2006  VOL 145 ISS 19
FILE LAST UPDATED: 1 Nov 2006  (20061101/ED)

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=> s L2
L3             0 L2
```

```
=>

---Logging off of STN---


=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                        0.46     167.61

STN INTERNATIONAL LOGOFF AT 14:39:22 ON 02 NOV 2006


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Welcome to STN International!  Enter x:x

LOGINID:ssptalxn1621

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


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 NEWS  4  AUG 28  ADISCTI Reloaded and Enhanced
 NEWS  5  AUG 30  CA(SM)/CAplus(SM) Austrian patent law changes
 NEWS  6  SEP 11  CA/CAplus enhanced with more pre-1907 records
 NEWS  7  SEP 21  CA/CAplus fields enhanced with simultaneous left and right
                  truncation
 NEWS  8  SEP 25  CA(SM)/CAplus(SM) display of CA Lexicon enhanced
 NEWS  9  SEP 25  CAS REGISTRY(SM) no longer includes Concord 3D coordinates
 NEWS 10  SEP 25  CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
 NEWS 11  SEP 28  CEABA-VTB classification code fields reloaded with new
                  classification scheme
 NEWS 12  OCT 19  LOGOFF HOLD duration extended to 120 minutes
 NEWS 13  OCT 19  E-mail format enhanced
 NEWS 14  OCT 23  Option to turn off MARPAT highlighting enhancements available
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 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:39:50 ON 02 NOV 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:40:01 ON 02 NOV 2006
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http://www.cas.org/ONLINE/UG/regprops.html

=> s fesoterodine
L1 2 FESOTERODINE

=> d fcn

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Fesoterodine fumarate
CN SMP 8272
CN SPM 907

=> d L1

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 286930-03-8 REGISTRY
ED Entered STN: 21 Aug 2000
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

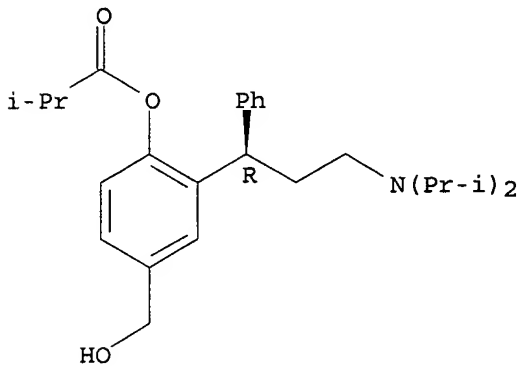
phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

OTHER NAMES:
CN Fesoterodine fumarate
CN SMP 8272
CN SPM 907
FS STEREOSEARCH
MF C26 H37 N O3 . C4 H4 O4
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, CA, CAPLUS, CBNB, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, PHAR, PROUSDDR, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPATFULL
(*File contains numerically searchable property data)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

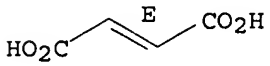
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
=> s fesoterodine isobutyrate
             2 FESOTERODINE
          1530 ISOBUTYRATE
L2           0 FESOTERODINE ISOBUTYRATE
                 (FESOTERODINE(W)ISOBUTYRATE)

=> s fesoteridine derivatives
             0 FESOTERIDINE
           165 DERIVATIVES
L3           0 FESOTERIDINE DERIVATIVES
                 (FESOTERIDINE(W)DERIVATIVES)

=> file caplus
```

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	28.92	29.13

FILE 'CAPLUS' ENTERED AT 14:41:33 ON 02 NOV 2006
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=> s fesoteridine
             0 FESOTERIDINE
L4           0 FESOTERIDINE

=> s fesoterodine
L5           9 FESOTERODINE

=> d L5 1-9 all
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L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:1133705 CAPLUS
ED Entered STN: 30 Oct 2006
TI Treatment of the overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites?
AU Michel, Martin C.; Hegde, Sharath S.
CS Department of Pharmacology & Pharmacotherapy, Academic Medical Center, University of Amsterdam, Meibergdreef 15, Amsterdam, 1105 AZ, Neth.
SO Naunyn-Schmiedeberg's Archives of Pharmacology (2006), 374(2), 79-85
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer
DT Journal
LA English
CC 1 (Pharmacology)
AB Antagonists of muscarinic acetylcholine receptors, such as darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. We briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of

these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. We conclude that more comprehensive studies of drug metabolites are required for an improved understanding of their clin. effects.

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:76147 CAPLUS
DN 144:156740
ED Entered STN: 27 Jan 2006
TI Combinations of statins with bronchodilators for treatment of respiratory disorders
IN Lindmark, Bertil; Thoren, Anders Ingemar
PA AstraZeneca AB, Swed.; AstraZeneca UK Limited
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-40
ICS A61K031-505; A61K031-58; A61K031-165; A61P011-00; A61P011-06; A61P011-08
CC 63-6 (Pharmaceuticals)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006008437	A1	20060126	WO 2005-GB2413	20050620

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI GB 2004-15789 A 20040715
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2006008437	ICM	A61K031-40
	ICS	A61K031-505; A61K031-58; A61K031-165; A61P011-00; A61P011-06; A61P011-08
	IPCI	A61K0031-40 [ICM,7]; A61K0031-505 [ICS,7]; A61K0031-58 [ICS,7]; A61K0031-165 [ICS,7]; A61P0011-00 [ICS,7]; A61P0011-06 [ICS,7]; A61P0011-08 [ICS,7]

AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5 μg, budesonide 160 μg, rosuvastatin 1 mg, and HFA 227 50 μL. Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5 μg and budesonide 160 μg, and a tablet formulation containing rosuvastatin 10 mg.
ST bronchodilator glucocorticosteroid statin respiratory disease; HMG CoA reductase inhibitor bronchodilator respiratory disease
IT Drug delivery systems
(aerosols, inhalants; combinations of statins with bronchodilators for treatment of respiratory disorders)
IT Lung, disease

(chronic obstructive pulmonary disease; combinations of statins with bronchodilators for treatment of respiratory disorders)
IT Bronchodilators
Cholinergic antagonists
Combination chemotherapy
Respiratory system, disease
β2-Adrenoceptor agonists
(combinations of statins with bronchodilators for treatment of respiratory disorders)
IT Glucocorticoids
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of statins with bronchodilators for treatment of respiratory disorders)
IT Drug delivery systems
(inhalants; combinations of statins with bronchodilators for treatment of respiratory disorders)
IT Drug delivery systems
(powders, inhalants; combinations of statins with bronchodilators for treatment of respiratory disorders)
IT Drug delivery systems
(tablets; combinations of statins with bronchodilators for treatment of respiratory disorders)
IT 50-24-8, Prednisolone 53-03-2, Prednisone 100-76-5D, Quinuclidine, derivs. 124-94-7, Triamcinolone 596-51-0 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 25990-43-6, Mepenzolate 51333-22-3, Budesonide 60135-22-0, Flumoxonide 60205-81-4, Ipratropium 73573-87-2, Formoterol 73573-88-3, Mevastatin 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81732-65-2, Bambuterol 85197-77-9, Tipredane 89365-50-4, Salmeterol 90566-53-3, Fluticasone 93957-54-1, Fluvastatin 99571-64-9, Oxitropium 105102-22-5, Mometasone 120815-74-9, Butixocort 124937-51-5, Tolterodine 126544-47-6, Ciclesonide 129260-79-3, Loteprednol 133099-04-4, Darifenacin 134523-00-5, Atorvastatin 136310-93-5, Tiotropium bromide 137888-11-0, TA 2005 144459-70-1, Rofleponide 145599-86-6, Cerivastatin 170105-16-5, Imidafenacin 182069-13-2, ETIPREDNOL 183814-30-4, Formoterol fumarate dihydrate 186691-13-4, Tiotropium 192056-79-4 242478-37-1, Solifenacin 286930-02-7, Fesoterodine 287714-41-4, Rosuvastatin 397864-44-7, 6α,9α-Difluoro-17α-[(2-furanylcarbonyl)oxy]-11β-hydroxy-16α-methyl-3-oxo-androsta-1,4-diene-17β-carbothioic acid S-fluoromethyl ester 398455-25-9 452339-68-3, 3-[4-[[6-[[(2R)-2-Hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]hexyl]oxy]butyl]benzenesulfonamide 463934-65-8 678160-57-1, Zoticasone 867022-63-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of statins with bronchodilators for treatment of respiratory disorders)
IT 9028-35-7, HMG-CoA reductase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, statins; combinations of statins with bronchodilators for treatment of respiratory disorders)
IT 147511-69-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pitavastatin; combinations of statins with bronchodilators for treatment of respiratory disorders)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Harlan, J; WO 0048626 A 2000 CAPLUS
(2) Kao, P; US 2005119330 A1 2005
(3) Takeda Chemical Industries Ltd; EP 1275388 A 2003 CAPLUS

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:878361 CAPLUS
DN 141:370546
ED Entered STN: 22 Oct 2004
TI Highly pure bases of 3,3-diphenyl propylamine monoesters for use in

transdermal delivery systems

IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland

PA Schwarz Pharma Ag, Germany

SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2

DT Patent

LA German

IC ICM C07C217-62
ICS A61K031-135; C07C213-10; A61P013-00

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089872	A1	20041021	WO 2004-EP3567	20040403
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10315917	A1	20041118	DE 2003-10315917	20030408
	AU 2004228163	A1	20041021	AU 2004-228163	20040403
	CA 2505848	AA	20041021	CA 2004-2505848	20040403
	BR 2004006221	A	20050809	BR 2004-6221	20040403
	EP 1613584	A1	20060111	EP 2004-725610	20040403
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	CN 1802345	A	20060712	CN 2004-80009224	20040403
	JP 2006522758	T2	20061005	JP 2006-504989	20040403
	US 2006014832	A1	20060119	US 2005-532836	20050426
	NO 2005005078	A	20051031	NO 2005-5078	20051031
PRAI	DE 2003-10315917	A	20030408		
	WO 2004-EP3567	W	20040403		

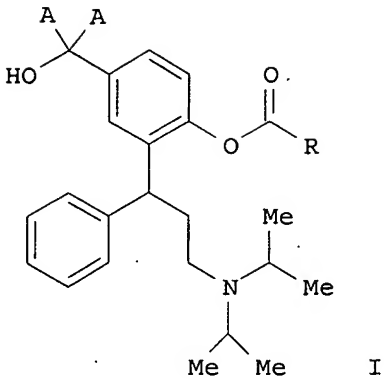
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004089872	ICM	C07C217-62
	ICS	A61K031-135; C07C213-10; A61P013-00
	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
DE 10315917	IPCI	C07C0219-28 [ICM,7]; C07C0219-00 [ICM,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
AU 2004228163	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]

CA 2505848	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61P0013-00 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61K0031-135 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
BR 2004006221	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
EP 1613584	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
CN 1802345	IPCI	C07C0217-62 [I,A]; C07C0217-00 [I,C*]; A61K0031-135 [I,A]; C07C0213-10 [I,A]; C07C0213-00 [I,C*]; A61P0013-00 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
JP 2006522758	IPCI	C07C0219-28 [I,A]; C07C0219-00 [I,C*]; C07C0213-08 [I,A]; C07C0213-10 [I,A]; C07C0213-00 [I,C*]; A61K0031-222 [I,A]; A61K0031-21 [I,C*]; A61P0013-02 [I,A]; A61P0013-10 [I,A]; A61P0013-00 [I,C*]; A61K0009-70 [I,A]; A61K0047-32 [I,A]; C07B0053-00 [N,A]
	FTERM	4C076/AA74; 4C076/AA95; 4C076/CC17; 4C076/EE10M; 4C076/EE10Q; 4C076/EE12M; 4C076/EE12Q; 4C076/EE13M; 4C076/EE13Q; 4C076/EE47M; 4C076/EE47Q; 4C076/EE48M; 4C076/EE48Q; 4C076/FF31; 4C076/FF63; 4C076/FF68; 4C206/AA01; 4C206/AA02; 4C206/DB02; 4C206/DB57; 4C206/KA13; 4C206/MA02; 4C206/MA05; 4C206/MA33; 4C206/MA36; 4C206/MA48; 4C206/MA52; 4C206/MA55; 4C206/MA56; 4C206/MA76; 4C206/MA83; 4C206/NA03; 4C206/NA12; 4C206/NA13; 4C206/ZA81; 4H006/AA01; 4H006/AA02; 4H006/AA03; 4H006/AB20; 4H006/AC52; 4H006/AC81; 4H006/AD16; 4H006/BB11; 4H006/BB12; 4H006/BB15; 4H006/BB16; 4H006/BB17; 4H006/BB31; 4H006/BC16; 4H006/BE12; 4H006/BE13; 4H006/BJ50; 4H006/BN10; 4H006/BT16; 4H006/BU36
US 2006014832	IPCI	C07C0229-52 [I,A]; C07C0229-00 [I,C*]; A61K0031-24 [I,A]; A61K0031-21 [I,C*]
	NCL	514/540.000; 560/136.000
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
NO 2005005078	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; A61K0009-70 [ICS,7]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62

OS MARPAT 141:370546

GI



I

AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a * (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

ST fesoterodine purifn monoester transdermal delivery system

IT Ion exchangers
(basic; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Bladder
(detrusor muscle, hyperactivity of; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Adhesives
Chirality
Crystallization
Dissolution
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Amines, reactions
Bicarbonates
RL: RCT (Reactant); RACT (Reactant or reagent)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Bladder, disease
(incontinence; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Urinary system, disease
(nocturia; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Bladder, disease
(pollakisuria; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Amines, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(polyamines, nonpolymeric; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Drug delivery systems
(transdermal; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
IT Drug delivery systems
(transmucosal; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
IT 60-29-7, Diethyl ether, uses 75-09-2, Dichloromethane, uses 78-93-3, Ethylmethylketone, uses 108-88-3, Toluene, uses 141-78-6, Ethylacetate, uses 1634-04-4, tert. Butylmethyl ether
RL: NUU (Other use, unclassified); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
IT 286930-02-7P, Fesoterodine
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
IT 504415-91-2P, Bio-PSA 7-4300
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
IT 777075-72-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
IT 79-30-1, Isobutyric acid chloride 110-17-8, Fumaric acid, reactions 207679-81-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
IT 5586-73-2D, 3,3-Diphenyl propylamine, monoesters
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Kreilgaard, B; WO 0012070 A 2000 CAPLUS
(2) Nilv; WO 9411337 A 1994 CAPLUS
(3) Sanol Arznei Schwarz Gmbh; WO 9958478 A 1999 CAPLUS
(4) Sanol Arznei Schwarz Gmbh; WO 0135957 A 2001

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:875349 CAPLUS
DN 142:303234
ED Entered STN: 22 Oct 2004
TI Mucosal adjuvants and delivery systems for oral and nasal vaccination
AU Baudner, Barbara C.; Verhoel, J. Coos; Junginger, Hans E.; del Giudice, Giuseppe
CS IRIS Research Center, Siena, 53100, Italy
SO Drugs of the Future (2004), 29(7), 721-732
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English
CC 63-0 (Pharmaceuticals)
Section cross-reference(s): 15
AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are

needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.

ST review mucosa adjuvant oral nasal vaccine

IT Immunostimulants
(adjuvants; mucosal adjuvants and delivery systems for oral and nasal vaccination)

IT Muscarinic antagonists
Vaccines
(mucosal adjuvants and delivery systems for oral and nasal vaccination)

IT Drug delivery systems
(nasal; mucosal adjuvants and delivery systems for oral and nasal vaccination)

IT Drug delivery systems
(oral; mucosal adjuvants and delivery systems for oral and nasal vaccination)

RE.CNT 169 THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD

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L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:875348 CAPLUS
DN 142:147630
ED Entered STN: 22 Oct 2004
TI Fesoterodine, an advanced antimuscarinic for the treatment of overactive bladder: a safety update
AU Cole, Patrick
CS Medical Information Dept., Prous Science, Barcelona, 08080, Spain
SO Drugs of the Future (2004), 29(7), 715-720
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English
CC 1-0 (Pharmacology)
AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.
ST review fesoterodine antimuscarinic overactive bladder
IT Combination chemotherapy
Drug interactions
Human
Muscarinic antagonists
(advanced antimuscarinic fesoterodine for treatment of overactive bladder)
IT Bladder, disease
(hyperreflexia; advanced antimuscarinic fesoterodine for treatment of overactive bladder)
IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(advanced antimuscarinic fesoterodine for treatment of overactive bladder)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:872676 CAPLUS
DN 141:337790
ED Entered STN: 21 Oct 2004
TI Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PA Schwarz Pharma Ag, Germany
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM A61K009-70
ICS A61K031-403; C07C219-26
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	CA 2505780	AA	20041021	CA 2004-2505780	20040403
	EP 1530461	A1	20050518	EP 2004-725614	20040403
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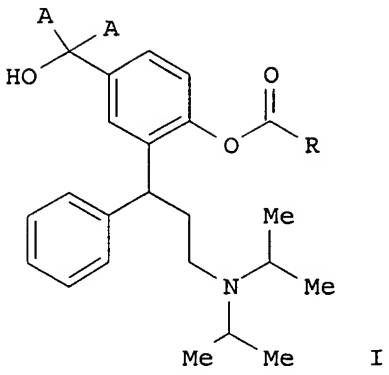
	BR 2004006212	A	20050816	BR 2004-6212	20040403
	CN 1767820	A	20060503	CN 2004-80009176	20040403
	JP 2006522759	T2	20061005	JP 2006-504992	20040403
	ZA 2005002681	A	20051013	ZA 2005-2681	20050401
	US 2006029673	A1	20060209	US 2005-533683	20050426
	NO 2005004644	A	20051010	NO 2005-4644	20051010
PRAI	DE 2003-10315878	A	20030408		
	WO 2004-EP3574	W	20040403		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004089346	ICM	A61K009-70
	ICS	A61K031-403; C07C219-26
	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
DE 10315878	IPCI	A61L0015-44 [ICM,7]; A61L0015-16 [ICM,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
AU 2004228927	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
CA 2505780	IPCI	A61K0009-70 [ICM,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]; A61K0031-403 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
EP 1530461	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
BR 2004006212	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
CN 1767820	IPCI	A61K0009-70 [I,A]; A61K0031-403 [I,A]; C07C0219-26 [I,A]; C07C0219-00 [I,C*]
	ECLA	A61K009/70E; A61K031/403
JP 2006522759	IPCI	A61K0031-222 [I,A]; A61K0031-21 [I,C*]; A61K0009-70 [I,A]; A61K0047-32 [I,A]; A61P0013-10 [I,A]; A61P0013-00 [I,A]
	FTERM	4C076/AA74; 4C076/BB31; 4C076/CC17; 4C076/EE08A; 4C076/EE10A; 4C076/EE12A; 4C076/EE27A; 4C076/FF31; 4C076/FF68; 4C206/AA01; 4C206/AA02; 4C206/DB03; 4C206/DB04; 4C206/DB57; 4C206/MA01; 4C206/MA04; 4C206/MA52; 4C206/MA83; 4C206/NA11; 4C206/NA12; 4C206/ZA81
ZA 2005002681	IPCI	A61K [ICS,7]; C07C [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0031-403 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
US 2006029673	IPCI	A61K0009-14 [I,A]
	NCL	424/486.000
	ECLA	A61K009/70E; A61K031/403
NO 2005004644	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403

OS MARPAT 141:337790

GI



I

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

ST transdermal diphenylpropylamine monoester Fesoterodineincontinence

IT Isoprene-styrene rubber
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(block, triblock; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Bladder, disease
(incontinence; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Urinary system, disease
(nocturia; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Paraffin oils
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(ondina oil; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Dissolution
Human
Hydrophilicity
Ozocerite
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Ceresin
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Polyoxyalkylenes, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT Drug delivery systems
(transdermal; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT Urinary system, disease
(urinary frequency; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT 700836-36-8 700836-36-8D, block, triblock
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(isoprene-styrene rubber; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT 1617-18-1, Ethylvinylacetate 198292-68-1, DuroTak 387-2287
346577-82-0, Regalite R 1090 504415-91-2, BIO-PSA 7-4300
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT 380636-50-0P 769950-53-0P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT 79-30-1, Isobutyric acid chloride 110-17-8, Fumaric acid, reactions
207679-81-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
IT 5586-73-2D, 3,3-Diphenylpropylamine, monoesters of 9003-20-7, PVAc
9003-39-8, PVP 25322-68-3, PEO
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Arth, C; US 6555129 B1 2003 CAPLUS
(2) Ebert, C; US 2002147236 A1 2002 CAPLUS
(3) Kanios, D; US 6638528 B1 2003 CAPLUS
(4) Sanol Arznei Schwarz Gmbh; EP 0957073 A 1999 CAPLUS
(5) Sanol Arznei Schwarz Gmbh; WO 0135957 A 2001
(6) Tsung-Min, H; US 2003157156 A1 2003 CAPLUS

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:761399 CAPLUS
DN 141:254396
ED Entered STN: 19 Sep 2004
TI Fesoterodine a new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome: results of a phase 2 controlled study
CS Chapple Cl, Royal Hallamshire Hospital, UK
SO Neurourology and Urodynamics (2004), 23(5/6), 598-599
CODEN: NEUREM; ISSN: 0733-2467
PB Wiley-Liss, Inc.
DT Journal
LA English
CC 1-11 (Pharmacology)
AB Fesoterodine as new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome is studied here.
ST antimuscarinic fesoterodine urgency frequency syndrome urinary incontinence
IT Human

Muscarinic antagonists
(antimuscarinic fesoterodine for treatment of urgency-frequency syndrome)
IT Bladder, disease
(incontinence; antimuscarinic fesoterodine for treatment of urgency-frequency syndrome)
IT Disease, animal
(urgency-frequency syndrome; antimuscarinic fesoterodine for treatment of urgency-frequency syndrome)
IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimuscarinic fesoterodine for treatment of urgency-frequency syndrome)

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:993805 CAPLUS
DN 140:331551
ED Entered STN: 22 Dec 2003
TI Fesoterodine: Treatment of urinary incontinence muscarinic M3 antagonist
AU Sorbera, L. A.; Castaner, J.; Lesson, P. A.
CS Prous Science, Barcelona, 08080, Spain
SO Drugs of the Future (2003), 28(7), 647-651
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English
CC 1-0 (Pharmacology)
AB A review. Urinary incontinence and overactive bladder are extremely common disorders affecting up to 12 and 20 million adults in the U.S., resp. Current pharmacotherapy includes peripherally acting compds. which modulate bladder smooth muscle contraction or centrally acting agents which modulate the neurol. control of urination. Anticholinergic agents inhibit bladder smooth muscle contraction through interference with acetylcholine action on muscarinic receptors on detrusor smooth muscle. However, the first anticholinergic agents were associated with a high rate of adverse events due to nonselectivity and targeting of several muscarinic subtypes and thus other organs. The search for novel, more bladder-selective antimuscarinic agents with better tolerability was initiated. Fesoterodine is a novel selective muscarinic M3 receptor antagonist that has shown potent antimuscarinic activity in vitro and in vivo and has been selected for further development as a treatment for urinary incontinence and overactive bladder.
ST review fesoterodine urine incontinence muscarinic M3 antagonist
IT Muscarinic antagonists
(M3; fesoterodine treatment of urinary incontinence as muscarinic M3 antagonist)
IT Bladder, disease
(incontinence; fesoterodine treatment of urinary incontinence as muscarinic M3 antagonist)
IT 286930-02-7, Fesoterodine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine treatment of urinary incontinence as muscarinic M3 antagonist)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Andersson, K; BJU Int 1999, V84, P923 CAPLUS
(2) Andersson, K; Bailliere's Best Pract Res Clin Obstet Gynaecol 2000, V14, P291 MEDLINE
(3) Anon; Schwarz's year-end results exceed expectations, DailyDrugNews com 2003
(4) Breidenbach, A; 32nd Annu Meet Int Continence Soc Abst 448 2002
(5) Eglen, R; Curr Opin Chem Biol 1999, V3, P426 CAPLUS

(6) Meese, C; WO 0135957
(7) Meese, C; DE 19955190 CAPLUS
(8) Meese, C; JP 2003514018 CAPLUS
(9) Prous Science Drug R&d; Backgrounders:Urinary incontinence (online publication) 2003
(10) Sachse, R; 32nd Annu Meet Int Continence Soc Abst 440 2002
(11) Sachse, R; Eur Urol Suppl Abst 111 2003, V2(1)
(12) Sachse, R; Naunyn-Schmied Arch Pharmacol Abst 413 2002, V365(Suppl 1)
(13) Sachse, R; Naunyn-Schmied Arch Pharmacol Abst 446 2003, V367(Suppl 1)
(14) Sullivan, J; Eur Urol 1999, V36(Suppl 1), P89

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:950829 CAPLUS
DN 140:13084
ED Entered STN: 07 Dec 2003
TI Combination of selected opioids with other active substances for use in the therapy of urinary incontinence
IN Christoph, Thomas
PA Grunenthal G.m.b.H., Germany
SO PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM A61K031-135
ICS A61K031-137; A61K031-485
CC 1-12 (Pharmacology)
Section cross-reference(s): 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10224107	A1	20031211	DE 2002-10224107	20020529
	AU 2003240717	A1	20031212	AU 2003-240717	20030527
	EP 1507520	A1	20050223	EP 2003-730120	20030527
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005137194	A1	20050623	US 2004-998164	20041129
	US 2006168942	A1	20060803	US 2005-545901	20050817
PRAI	DE 2002-10224107	A	20020529		
	WO 2003-EP5529	W	20030527		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003099268	ICM	A61K031-135
	ICS	A61K031-137; A61K031-485
	IPCI	A61K0031-135 [ICM,7]; A61K0031-137 [ICS,7]; A61K0031-485 [ICS,7]
	IPCR	A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61K0031-137 [I,C*]; A61K0031-137 [I,A]; A61K0031-485 [I,C*]; A61K0031-485 [I,A]
	ECLA	A61K031/135; A61K031/137; A61K031/485
DE 10224107	IPCI	A61K0031-485 [ICM,7]
	IPCR	A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61K0031-137 [I,C*]; A61K0031-137 [I,A]; A61K0031-485 [I,C*]; A61K0031-485 [I,A]

	ECLA	A61K031/135; A61K031/137; A61K031/485
AU 2003240717	IPCI	A61K0031-135 [ICM,7]; A61K0031-137 [ICS,7]; A61K0031-485 [ICS,7]
	IPCR	A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61K0031-137 [I,C*]; A61K0031-137 [I,A]; A61K0031-485 [I,C*]; A61K0031-485 [I,A]
EP 1507520	IPCI	A61K0031-135 [ICM,7]; A61K0031-137 [ICS,7]; A61K0031-485 [ICS,7]
	IPCR	A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61K0031-137 [I,C*]; A61K0031-137 [I,A]; A61K0031-485 [I,C*]; A61K0031-485 [I,A]
US 2005137194	IPCI	A61K0031-5377 [ICM,7]; A61K0031-5375 [ICM,7,C*]; A61K0031-485 [ICS,7]
	IPCR	A61K0031-485 [I,C*]; A61K0031-485 [I,A]; A61K0031-5375 [I,C*]; A61K0031-5377 [I,A]
	NCL	514/235.200; 514/282.000
US 2006168942	IPCI	F01N0009-00 [I,A]
	NCL	060/276.000; 060/285.000
	ECLA	A61K031/135; A61K031/137; A61K031/485

OS MARPAT 140:13084

AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.

ST incontinence urinary treatment opioid drug combination; urinary urge treatment opioid drug combination; tramadol drug combination urinary incontinence urge

IT Bladder, disease
(incontinence; opioid combination with other active substances for treatment of urinary incontinence)

IT Drug delivery systems
(injections; opioid combination with other active substances for treatment of urinary incontinence)

IT Drug delivery systems
(opioid combination with other active substances for treatment of urinary incontinence)

IT Bladder
(urinary urge; opioid combination with other active substances for treatment of urinary incontinence)

IT 57-27-2, * Morphin, biological studies 57-42-1, Pethidine 62-67-9, Nalorphine 76-42-6, Oxycodone 76-57-3, Codeine 76-58-4, Ethylmorphine 77-07-6, Levorphanol 125-28-0, Dihydrocodeine 125-29-1, Hydrocodone 125-58-6, Levomethadone 302-41-0, Piritramide 357-56-2, Dextromoramide 359-83-1, Pentazocine 437-38-7, Fentanyl 466-99-9, Hydromorphone 469-62-5, Dextropropoxyphene 469-79-4, Ketobemidone 561-27-3, Diacetylmorphine 915-30-0, Diphenoxylate 1199-99-1D, derivs. 1477-40-3, Levomethadyl Acetate 14521-96-1, Etorphine 20594-83-6, Nalbuphine 21363-18-8, Viminol 27203-92-5, Tramadol 42408-82-2, Butorphanol 51931-66-9, Tilidine 52485-79-7, Buprenorphine 53648-55-8, Dezocine 54340-58-8, Meptazinol 56030-54-7 71195-58-9, Alfentanyl 80456-81-1, O-Demethyltramadol 132875-61-7, Remifentanyl 138853-73-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Combination of selected opioids with other active substances for use in the therapy of urinary incontinence)

IT 186033-14-7, NS 8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NS 8; opioid combination with other active substances for treatment of urinary incontinence)

IT 52-28-8, Codeine phosphate 57444-62-9, Resiniferatoxin 92725-18-3D, derivs. 93413-69-5, Venlafaxine 142155-43-9, Cizolirtine 158836-71-6, Nitro-Flurbiprofen 174636-32-9, Talnetant 175590-75-7

175590-76-8 175590-77-9 175590-78-0 175590-89-3 175590-90-6
175590-91-7 175590-92-8 175591-01-2 175591-02-3 175591-04-5
175591-05-6 175591-06-7 175591-09-0 175591-11-4 175591-12-5
175591-23-8 175591-24-9 175591-25-0 175774-12-6 175774-14-8
175774-16-0 175774-18-2 187219-61-0 187219-93-8 187219-95-0
187219-97-2 187219-99-4 187220-01-5 187220-05-9 187220-25-3
187220-29-7 217185-75-6, TAK-637 219311-44-1 220382-87-6, Rec
15/3079 242478-37-1, Solifenacin 286930-02-7, Fesoterodine
433265-42-0 433265-54-4 433265-59-9 433265-65-7 433265-73-7
433686-04-5 433686-05-6 433686-06-7 433686-07-8 433936-14-2
433936-20-0 433936-23-3 433936-24-4 502616-18-4 502616-19-5
502616-20-8 502616-22-0 502616-23-1 630046-59-2 630395-07-2, SL
251039 630395-09-4, DRP 001
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(opioid combination with other active substances for treatment of
urinary incontinence)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Durand, A; PRESSE MEDICALE 2000, V29(16), P917
(2) Gruenenthal Gmbh; DE 19947747 A 2001 CAPLUS
(3) Kroner, B; JOURNAL OF GERIATRIC DRUG THERAPY 1992, V7(1), P23
(4) Malinovsky, J; ANESTHESIA AND ANALGESIA 1998, V87(2), P456 CAPLUS
(5) McNutt, R; US 5658908 A 1997 CAPLUS
(6) Novosis Pharma Ag; EP 1072260 A 2001 CAPLUS
(7) Palmer, K; GASTROENTEROLOGY 1980, V79(6), P1272 MEDLINE
(8) Pandita, R; NEUROUROLOGY AND URODYNAMICS, 31st Annual Meeting of the
International Continence Society 2001, V20(4), P439
(9) Ripple, M; AMERICAN JOURNAL OF FORENSIC MEDICINE AND PATHOLOGY 2000,
V21(4), P370 MEDLINE

=> FIL MARPAT

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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(COVERAGE TO THESE DATES IS NOT COMPLETE):

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DE	102006006123	07 SEP 2006
EP	1700848	13 SEP 2006
JP	2006242783	14 SEP 2006
WO	2006095864	14 SEP 2006
GB	2423518	30 AUG 2006
FR	2882520	01 SEP 2006
RU	2283369	10 SEP 2006
CA	2547866	22 AUG 2006

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ANSWER 1  MARPAT  COPYRIGHT 2006 ACS on STN
AN   140:13084  MARPAT
TI   Combination of selected opioids with other active substances for use in
     the therapy of urinary incontinence
IN   Christoph, Thomas
PA   Grunenthal G.m.b.H., Germany
SO   PCT Int. Appl., 126 pp.
     CODEN: PIXXD2
DT   Patent
LA   German
IC   ICM  A61K031-135
     ICS  A61K031-137; A61K031-485
CC   1-12 (Pharmacology)
     Section cross-reference(s): 63
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   WO 2003099268      A1   20031204       WO 2003-EP5529   20030527
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     DE 10224107       A1   20031211       DE 2002-10224107 20020529
     AU 2003240717     A1   20031212       AU 2003-240717   20030527
     EP 1507520        A1   20050223       EP 2003-730120   20030527
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     US 2005137194     A1   20050623       US 2004-998164   20041129
     US 2006168942     A1   20060803       US 2005-545901   20050817
PRAI DE 2002-10224107  20020529
     WO 2003-EP5529    20030527
AB   The invention discloses the use of a combination of opioids (e.g.
     tramadol) with other active substances for producing a drug for the
     treatment of urinary urgency or urinary incontinence.  The invention also
     relates to corresponding medicaments and to a method for treating urinary
     urgency or urinary incontinence.
ST   incontinence urinary treatment opioid drug combination; urinary urge
     treatment opioid drug combination; tramadol drug combination urinary
     incontinence urge
IT   Bladder, disease
        (incontinence; opioid combination with other active substances for
        treatment of urinary incontinence)
IT   Drug delivery systems
        (injections; opioid combination with other active substances for
        treatment of urinary incontinence)
IT   Drug delivery systems
        (opioid combination with other active substances for treatment of
        urinary incontinence)
```

IT Bladder
(urinary urge; opioid combination with other active substances for treatment of urinary incontinence)

IT 57-27-2, * Morphin, biological studies 57-42-1, Pethidine 62-67-9, Nalorphine 76-42-6, Oxycodone 76-57-3, Codeine 76-58-4, Ethylmorphine 77-07-6, Levorphanol 125-28-0, Dihydrocodeine 125-29-1, Hydrocodone 125-58-6, Levomethadone 302-41-0, Piritramide 357-56-2, Dextromoramide 359-83-1, Pentazocine 437-38-7, Fentanyl 466-99-9, Hydromorphone 469-62-5, Dextropropoxyphene 469-79-4, Ketobemidone 561-27-3, Diacetylmorphine 915-30-0, Diphenoxylate 1199-99-1D, derivs. 1477-40-3, Levomethadyl Acetate 14521-96-1, Etorphine 20594-83-6, Nalbuphine 21363-18-8, Viminol 27203-92-5, Tramadol 42408-82-2, Butorphanol 51931-66-9, Tilidine 52485-79-7, Buprenorphine 53648-55-8, Dezocine 54340-58-8, Meptazinol 56030-54-7 71195-58-9, Alfentanyl 80456-81-1, O-Demethyltramadol 132875-61-7, Remifentanyl 138853-73-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Combination of selected opioids with other active substances for use in the therapy of urinary incontinence)

IT 186033-14-7, NS 8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NS 8; opioid combination with other active substances for treatment of urinary incontinence)

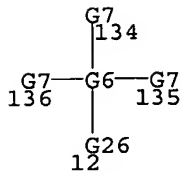
IT 52-28-8, Codeine phosphate 57444-62-9, Resiniferatoxin 92725-18-3D, derivs. 93413-69-5, Venlafaxine 142155-43-9, Cizolirtine 158836-71-6, Nitro-Flurbiprofen 174636-32-9, Talnetant 175590-75-7 175590-76-8 175590-77-9 175590-78-0 175590-89-3 175590-90-6 175590-91-7 175590-92-8 175591-01-2 175591-02-3 175591-04-5 175591-05-6 175591-06-7 175591-09-0 175591-11-4 175591-12-5 175591-23-8 175591-24-9 175591-25-0 175774-12-6 175774-14-8 175774-16-0 175774-18-2 187219-61-0 187219-93-8 187219-95-0 187219-97-2 187219-99-4 187220-01-5 187220-05-9 187220-25-3 187220-29-7 217185-75-6, TAK-637 219311-44-1 220382-87-6, Rec 15/3079 242478-37-1, Solifenacin 286930-02-7, Fesoterodine 433265-42-0 433265-54-4 433265-59-9 433265-65-7 433265-73-7 433686-04-5 433686-05-6 433686-06-7 433686-07-8 433936-14-2 433936-20-0 433936-23-3 433936-24-4 502616-18-4 502616-19-5 502616-20-8 502616-22-0 502616-23-1 630046-59-2 630395-07-2, SL 251039 630395-09-4, DRP 001
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid combination with other active substances for treatment of urinary incontinence)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

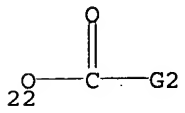
RE

(1) Durand, A; PRESSE MEDICALE 2000, V29(16), P917
(2) Gruenenthal Gmbh; DE 19947747 A 2001 CAPLUS
(3) Kroner, B; JOURNAL OF GERIATRIC DRUG THERAPY 1992, V7(1), P23
(4) Malinovsky, J; ANESTHESIA AND ANALGESIA 1998, V87(2), P456 CAPLUS
(5) McNutt, R; US 5658908 A 1997 CAPLUS
(6) Novosis Pharma Ag; EP 1072260 A 2001 CAPLUS
(7) Palmer, K; GASTROENTEROLOGY 1980, V79(6), P1272 MEDLINE
(8) Pandita, R; NEUROUROLOGY AND URODYNAMICS, 31st Annual Meeting of the International Continence Society 2001, V20(4), P439
(9) Ripple, M; AMERICAN JOURNAL OF FORENSIC MEDICINE AND PATHOLOGY 2000, V21(4), P370 MEDLINE

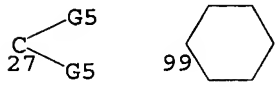
MSTR 1



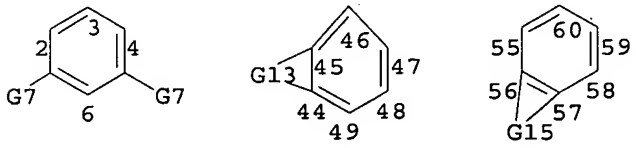
G1 = OH / F / Cl / H / 22



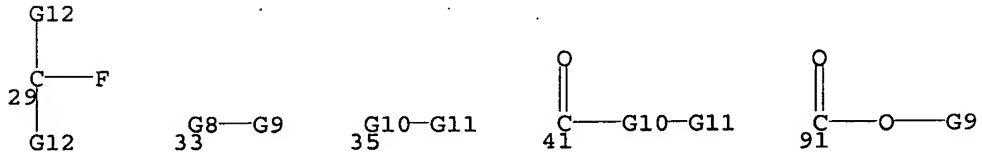
G2 = carbon chain <containing 1-3 C> (opt. substd.) /
(Specifically claimed: Me)
G3 = carbon chain <containing 1-4 C> (opt. substd.) /
(Specifically claimed: Me / Et / Bu-n / Bu-t)
G4 = 27 / cycloalkylene <containing 4-7 C>
(opt. substd.) / (Specifically claimed: 99)



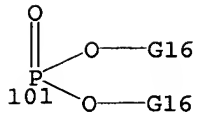
G5 = H / carbon chain <containing 1-4 C> (opt. substd.) /
(Specifically claimed: Me / Et / Pr-i / Bu-t)
G6 = 2-136 3-134 4-135 6-12 /
46-136 47-134 48-135 49-12 / 55-136 59-134 58-135 60-12

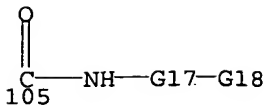


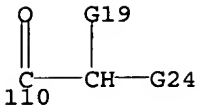
G7 = H / F / Cl / Br / I / 29 / OH / SH / 33 / OCF3 /
NH2 / 35 / SO2Me / SO2CF3 / CN / 91 / NO2 / CONH2 / 41 /
carbon chain <containing 1-6 C> (opt. substd.) /
Ph (opt. substd.)

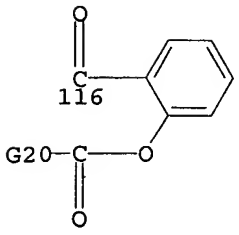


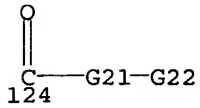
G8 = O / S
G9 = carbon chain <containing 1-6 C> (opt. substd.) /
pyridyl / thienyl / thiazolyl / Ph / CH2Ph / CH2CH2Ph / 101 /
142 / 105 / 146 / 110 / 116 / 124 /
(Specifically claimed: Me)

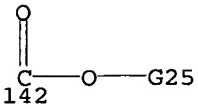


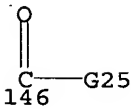




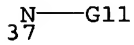




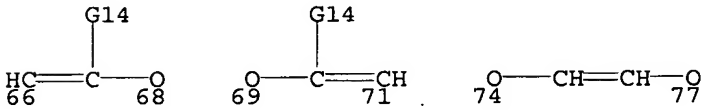




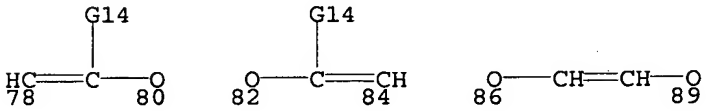
G10 = NH / 37



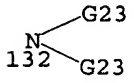
G11 = carbon chain <containing 1-6 C> (opt. substd.) / Ph (opt. substd.) / CH2Ph (opt. substd.) / CH2CH2Ph (opt. substd.)
G12 = H / F
G13 = OCH2O / OCH2CH2O / 66-45 68-44 / 69-45 71-44 / CH2CH2CH2CH2 / 74-45 77-44



G14 = H / Me
G15 = OCH2O / OCH2CH2O / 78-56 80-57 / 82-56 84-57 / CH2CH2CH2CH2 / 86-56 89-57



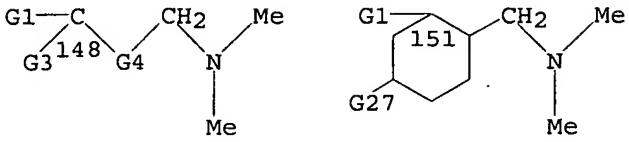
G16 = carbon chain <containing 1-4 C> (opt. substd.)
G17 = phenylene
G18 = alkyl <containing 1-3 C>
G19 = H / carbon chain <containing 1-6 C> (opt. substd.) / Ph (opt. substd.) / CH2Ph (opt. substd.) / CH2CH2Ph (opt. substd.)
G20 = carbon chain <containing 1-3 C> (opt. substd.)
G21 = m-C6H4 / p-C6H4
G22 = 132 / morpholino



G23 = carbon chain <containing 1-4 C> (opt. substd.)
G24 = NH2 / 137

HN——G11
137

G25 = carbon chain <containing 1-5 C> (opt. substd.)
G26 = 148 / 151



G27 = alkyl <containing 1-4 C> / CH2Ph / CF3 / OH /
OCH2Ph / alkoxy <containing 1-4 C> / Cl / F / H /
(Specifically claimed: Me)
Patent location: claim 1
Note: and/or physiologically acceptable salts
Stereochemistry: and enantiomers, diastereomers and mixtures

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	8.14	69.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.71	-7.46

FILE 'STNGUIDE' ENTERED AT 14:43:44 ON 02 NOV 2006

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 27, 2006 (20061027/UP).

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

---Logging off of STN---

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.30	70.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-7.46

STN INTERNATIONAL LOGOFF AT 14:46:58 ON 02 NOV 2006

Connection closed by remote host
END

Unable to generate the STN prompt.
Exiting the script...